## NAPHTHALENE DERIVATIVES

## **ABSTRACT**

Compounds of the formula (1) where R<sub>1</sub> is of formula (II), (III), or (IV), or (V); R<sub>2</sub> 10 is -R<sub>4</sub>, -O-R<sub>4</sub>, -O-S(O)<sub>2</sub>-R<sub>4</sub>, -NR<sub>4</sub>R<sub>5</sub>, R<sub>4</sub>-(CH<sub>2</sub>)<sub>b</sub>-NH(C=X)-(CH<sub>2</sub>)<sub>c</sub>-, R<sub>4</sub>-(CH<sub>2</sub>)<sub>b</sub>-O(C-O)NH-(CH<sub>2</sub>)<sub>c</sub>-(C=O)NH-, R<sub>4</sub>-(C=O)NH-(C=O)NH-, -CH<sub>2</sub>)<sub>b</sub>-NH(C=X)-(CH<sub>2</sub>)<sub>c</sub>-R<sub>4</sub>, R<sub>4</sub>-(CH<sub>2</sub>)<sub>b</sub>- $O(C=O)CH_2)_{c^{-1}}$ ,  $-(CH_2)_{b^{-1}}O(C=O)-(CH_2)_{c^{-1}}R_4$ ,  $-NH(C=X)NH-R_4$ ,  $R_4-O(C=O)O-$ ,  $-O(C=O)NH-R_4$ ,  $R_4-O(C=O)NH-$ ,  $-(CH_2)_b-(C=O-(CH_2)_c-R_4$ ,  $-NH-S(O)_2-R_4$ , -C(OH) $R_4R_5$ , -CH(OH)- $R_4$ , -(C=O)-NR<sub>4</sub>, -CN, -NO<sub>2</sub>, substituted C<sub>1</sub> to C<sub>6</sub> alkyl, substituted 15 or unsubstituted C<sub>1</sub> to C<sub>6</sub> alkenyl, or substituted or unsubstituted C<sub>1</sub> to C<sub>6</sub> alkynyl, said substituted moieties substituted with a moiety of the formula -R4, -R4R5, -O-R<sub>4</sub>, or -S(O)<sub>d</sub>-R<sub>4</sub>; R<sub>3</sub> is hydrogen, C<sub>1</sub> to C<sub>6</sub> alkyl, C<sub>1</sub> to C<sub>6</sub> alkylaryl, or aryl; R<sub>4</sub> and R<sub>5</sub> are each independently (XV), (XVI), (XVII), (XVII) hydrogen, -CF₃, C₁ to C₀ alkyl, C₁ to  $C_6$  alkylaryl, with the proviso that when  $R_2$  is  $-R_4$  or  $-OR_4$ ,  $R_4$  is not hydrogen or  $C_1$  to  $C_6$ alkyl. These compounds are useful psychotherapeutics and are potent serotonin (5-20 HT<sub>1</sub>) agonists and antagonists and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain and chronic paroxysmal hemicrania and headache associated with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. The compounds can also be used as centrally acting antihypertensives and vasodilators. 25